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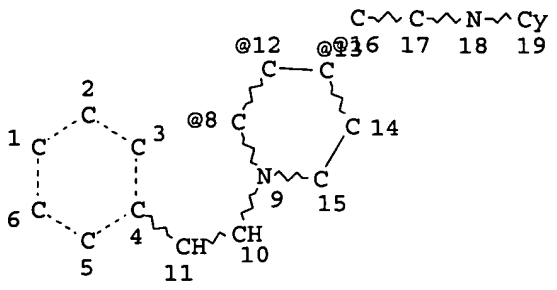

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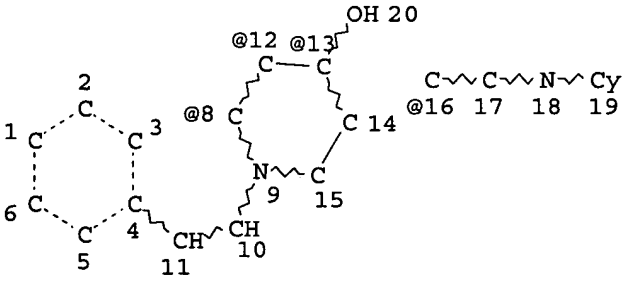

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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 206 ITERATIONS 200 ANSWERS
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L17 39 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
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(9CI)
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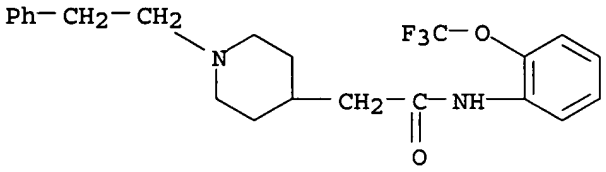

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	41.16	454.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-3.75

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FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

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=> d his

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=> d bib abs hitstr l19 1-6

L19  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2003:887681  CAPLUS
DN   139:364834
TI   Heterocyclic analgesic compounds, namely N-[1-(1-phenethylpiperidin-3-
     yl)ethyl]-N-phenylpropionamide and analogs, with activity at opioid
     receptors, and method of use thereof
IN   Cuny, Gregory D.; Shao, Liming; Hauske, James R.; Heffernan, Michele L.
     R.; Aquila, Brian M.; Wu, Xinhe; Wang, Fengjiang; Bannister, Thomas D.
PA   Sepracor Inc., USA
SO   U.S., 91 pp., Cont.-in-part of U.S. Ser. No. 579,398.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 6
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   US 6645980           B1    20031111    US 2000-717174          20001120
     US 6677332           B1    20040113    US 2000-579398          20000525
     US 2002016337        A1    20020207    US 2001-798803          20010302
     US 6635661           B2    20031021
     US 2003069418        A1    20030410    US 2002-121029          20020411
PRAI US 2000-579398       A2    20000525
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	US 1999-135721P	P	19990525
	US 1999-168979P	P	19991203
	US 2000-195809P	P	20000411
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	US 2001-798803	A2	20010302
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OS MARPAT 139:364834

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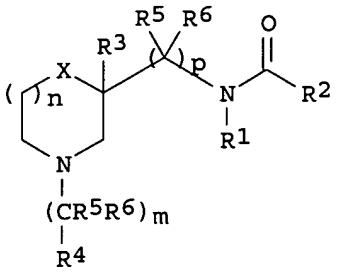

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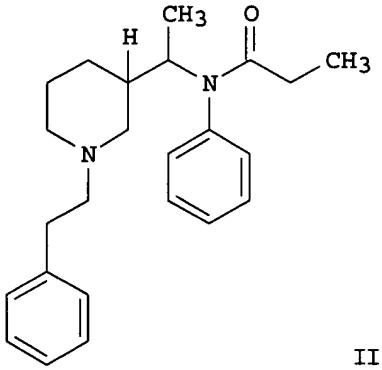

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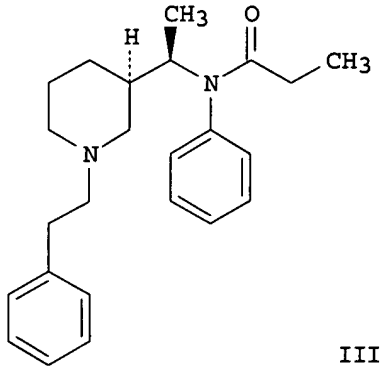

III

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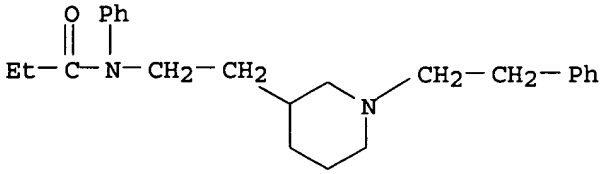
IT 309747-86-2P, N-[2-(1-Phenethylpiperidin-3-yl)ethyl]-N-phenylpropionamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of [(phenethylpiperidinyl)ethyl]phenylpropionamides and analogs as analgesics)

RN 309747-86-2 CAPLUS

CN Propanamide, N-phenyl-N-[2-[1-(2-phenylethyl)-3-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:107910 CAPLUS

DN 136:167282

TI Heterocyclic analgesic compounds, namely N-[1-(1-phenethylpiperidin-3-yl)ethyl]-N-phenylpropionamide and analogs, with activity as opioid receptors, and method of use thereof

IN Cuny, Gregory D.; Shao, Liming; Hauske, James R.; Heffernan, Michele L. R.; Aquila, Brian M.; Wu, Xinhe; Wang, Fengjiang; Bannister, Thomas D.

PA Sepracor, Inc., USA

SO U.S. Pat. Appl. Publ., 107 pp., Cont.-in-part of U.S. Ser. No. 717,174.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002016337	A1	20020207	US 2001-798803	20010302
US 6635661	B2	20031021		
US 6677332	B1	20040113	US 2000-579398	20000525
US 6645980	B1	20031111	US 2000-717174	20001120
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	US 2000-717174	A2	20001120		
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	US 1999-168979P	P	19991203		
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OS MARPAT 136:167282

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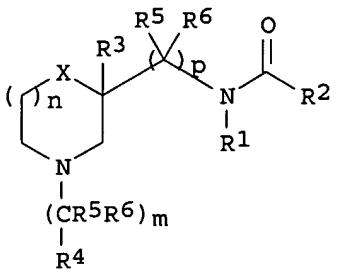

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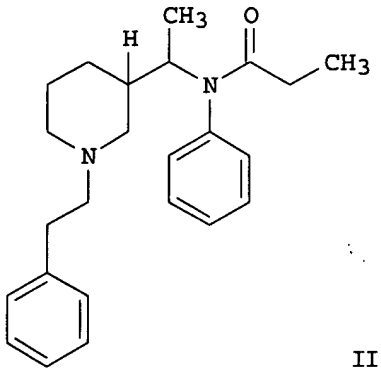

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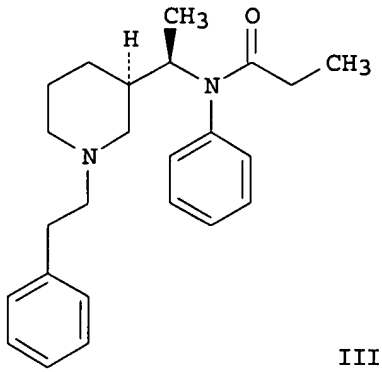

III

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For instance, 3-(1-hydroxyethyl)piperidine-1-carboxylic acid tert-Bu ester was converted to its mesylate ester, and this reacted with aniline to give 3-[1-(phenylamino)ethyl]piperidine-1-carboxylic acid tert-Bu ester. Amidation of this with propionyl chloride, deprotection of the BOC group with CF3CO2H, and N-alkylation with PhCH2CH2Br, gave the invention compound II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem.
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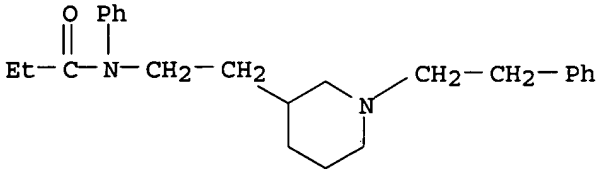
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of [(phenethylpiperidinyl)ethyl]phenylpropionamides and analogs as analgesics)

RN 309747-86-2 CAPLUS

CN Propanamide, N-phenyl-N-[2-[1-(2-phenylethyl)-3-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:886067 CAPLUS

DN 136:20020

TI Heterocyclic analgesic compounds, namely N-[1-(1-phenethylpiperidin-3-yl)ethyl]-N-phenylpropionamide and analogs, with activity at opioid receptors, and method of use thereof

IN Cuny, Gregory D.; Shao, Liming; Hauske, James R.; Heffernan, Michele L. R.; Aquila, Brian M.; Wu, Xinhe; Wang, Fengjian; Bannister, Thomas D.

PA Sepracor, Inc., USA

SO PCT Int. Appl., 229 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

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OS MARPAT 136:20020
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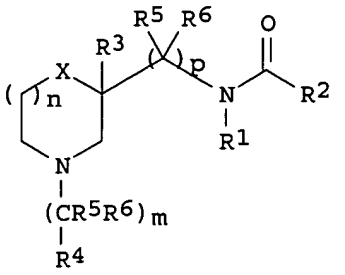

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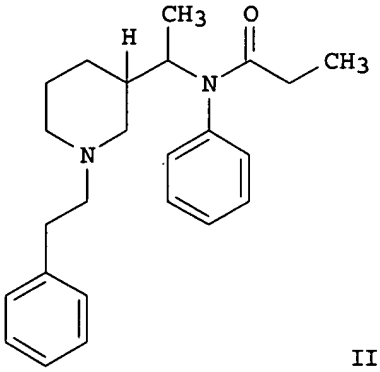

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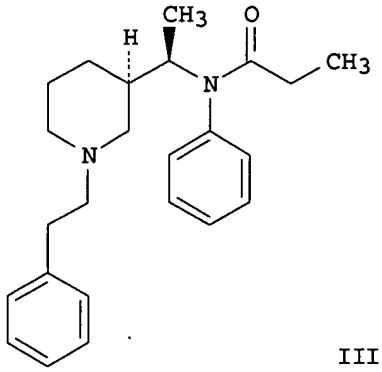

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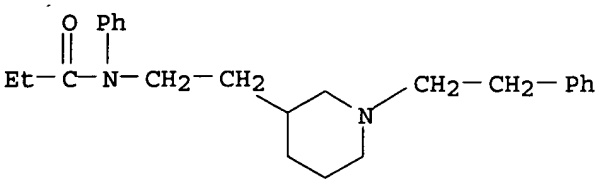


RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:842113 CAPLUS

DN 134:29315

TI Heterocyclic analgesic compounds and methods of use thereof

IN Cuny, Gregory D.; Shao, Liming; Hauske, James R.; Heffernan, Michele L. R.; Aquila, Brian M.; Wu, Xinhe; Wang, Fengjian; Bannister, Thomas D.

PA Sepracor, Inc., USA

SO PCT Int. Appl., 216 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071518	A2	20001130	WO 2000-US14579	20000525
	WO 2000071518	A3	20011018		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2372887	AA	20001130	CA 2000-2372887	20000525
	EP 1187810	A2	20020320	EP 2000-937830	20000525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003500392	T2	20030107	JP 2000-619775	20000525
	AU 777760	B2	20041028	AU 2000-52953	20000525
PRAI	US 1999-135721P	P	19990525		
	US 1999-168979P	P	19991203		
	US 2000-195809P	P	20000411		
	WO 2000-US14579	W	20000525		

OS MARPAT 134:29315

GI

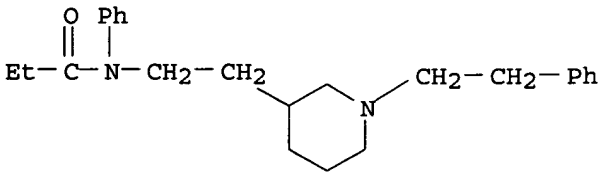
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention discloses novel nitrogen heterocycles of formula I (A = (CH2)b, Z = (CH2)y, W = (CH2)n, where b = 0 or 1, yr = 1 or 2, and n = 1, 2 or 3 with provisions; X = C(R3)2, O, S, SO2, NR2, NCO2R2, or CO; R1 = alkyl, aryl, heteroaryl, or cycloalkyl; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, or cycloalkyl; R1 and R2 may be connected via covalent bond; R3 = H, alkyl, aryl, OR2, OCOR2, CH2OR2, or CO2R2, wherein any two instances of R3 may be connected via divalent carbon bridge; R4 = H, alkyl, aryl, heteroaryl, alkenyl, or cycloalkyl; R5 or R6 = H, alkyl, CH2Y, aryl, heteroaryl, F, OR2 or OCOR2; Y = OR2, N(R2)2, SR2, SOR2, SO2R2 or PO(OR2)2; R4 may be covalently attached to an adjacent R5 or R6; p = 1, 2, 3 or 4; m = 0, 1, or 2) and II (y = 1; n = 2; b = 0) as well as methods for preparation Compound III was prepared by successive amidation of (R)-N-(1-Boc-piperidin-3-ylmethyl)aniline, deprotection and alkylation. Methods employed to prepare claimed compds. included combinatorial chemical providing ninety-six piperidinyl derivs. with IC50 values (μM) ranging 0.31-5.76 and 0.08-4 against κ and μ opioid receptors, resp. III was five times stronger [ED50 (μg/kg) <500] than morphine [ED50 <2500] as an analgesic as demonstrated in a standard rat tail flick test. A second aspect of the present invention relates to the use of the novel heterocyclic compds. as ligands for various cellular receptors, including opiate receptors, other the G-protein coupled receptors, and ion channels. An addnl. aspect of the invention relates to the use of the novel heterocyclic compds. as analgesics.

IT 309747-86-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and biol. activity of nitrogen heterocyclic analgesic compds.)

RN 309747-86-2 CAPLUS

CN Propanamide, N-phenyl-N-[2-[1-(2-phenylethyl)-3-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:742073 CAPLUS

DN 133:305612

TI 4-Hydroxypiperidine derivatives as remedies for neuropathic pain

IN Yamamoto, Ichiro; Itoh, Manabu; Yamasaki, Fumiaki; Akada, Yasushige; Miyazaki, Yutaka; Ogawa, Shinichi

PA Mochida Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 127 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000061558	A1	20001019	WO 2000-JP2332	20000410

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,

CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2369695	AA	20001019	CA 2000-2369695	20000410
EP 1182193	A1	20020227	EP 2000-915468	20000410
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US 2002049229	A1	20020425	US 2001-969644	20011004
US 6642257	B2	20031104		
PRAI JP 1999-103212	A	19990409		
JP 2000-23116	A	20000131		
WO 2000-JP2332	W	20000410		

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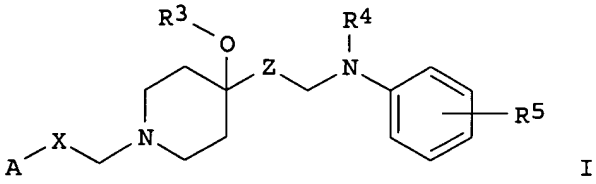


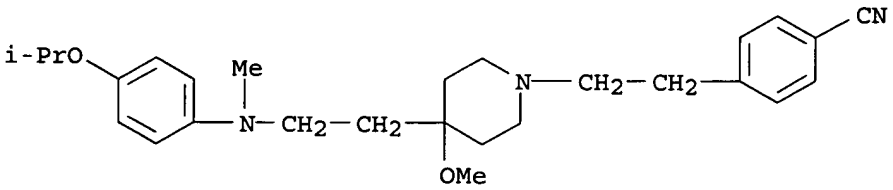
I

AB Compds. represented by general formula (I) or salts thereof and medicinal compns. containing the same as the active ingredient: wherein A represents, for example, Ph substituted by R1 and R2, unsubstituted furyl or unsubstituted thienyl (wherein R1 represents, for example, hydrogen, fluoro, chloro, trifluoromethyl, nitro, cyano or methyl; and R2 represents, for example, hydrogen); R3 represents, for example, hydrogen or methyl; R4 represents, for example, hydrogen or methyl; R5 represents ethoxy or iso-propoxy; X represents -CH(OH)- or methylene; and Z represents, for example, a single bond or optionally hydroxylated methylene. These compds. can be orally administered as remedies for neuropathic pain and exhibit excellent effect while showing little side effects.

IT 302518-94-1P 302521-71-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-hydroxypiperidine derivs. as remedies for neuropathic pain)

RN 302518-94-1 CAPLUS

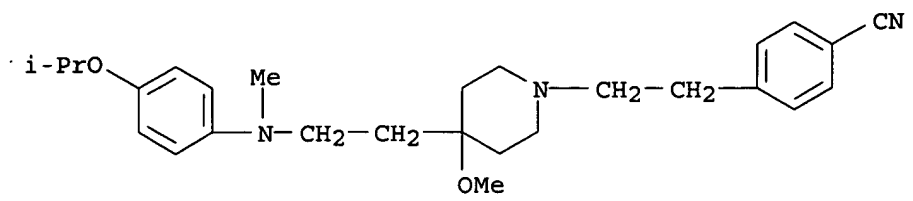
CN Benzonitrile, 4-[2-[4-methoxy-4-[2-[methyl[4-(1-methylethoxy)phenyl]amino]ethyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 302521-71-7 CAPLUS

CN Benzonitrile, 4-[2-[4-methoxy-4-[2-[methyl[4-(1-

methylethoxy)phenyl]amino]ethyl]-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



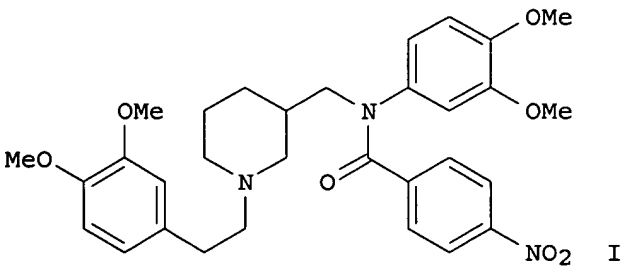
●2 HCl

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:593888 CAPLUS
DN 125:221598
TI Preparation of N-aryl-N-heterocyclylalkyl-4-nitrobenzamides and analogs as antiarrhythmics
IN Nadler, Guy Marguerite Marie Gerard; Souchet, Michel Louis; Legave, Marie Noel Genevieve
PA Smithkline Beecham Laboratoires Pharmaceutiques, Fr.
SO Fr. Demande, 29 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2729142	A1	19960712	FR 1995-106	19950106
PRAI FR 1995-106		19950106		

OS MARPAT 125:221598
GI



I

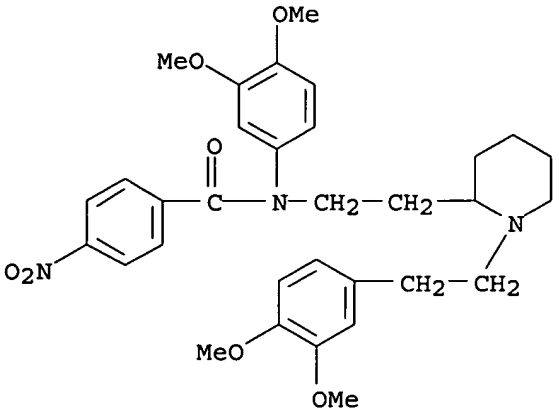
AB R1Z1N(Z2R2)Z4ZZ3R3 [R1 = (un)substituted Ph; R2 = (hetero)aryl, arylalk(en)yl, etc.; R3 = (hetero)aryl; Z = N-containing (un)substituted heterocyclylene; Z1 = bond, CH2, OCH2CH2, etc.; Z2 = CO, NHCO, SO2, etc.; Z3 = alkylene; Z4 = bond or alkylene] were prepared as antiarrhythmics (no data). Thus, pyridine-3-carboxaldehyde was condensed with 3,4-(MeO)2C6H3NH2 and the product converted in 6 steps to title compound I.

IT 181522-50-9P 181522-52-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl-N-heterocyclylalkyl-4-nitrobenzamides and analogs as antiarrhythmics)

RN 181522-50-9 CAPLUS

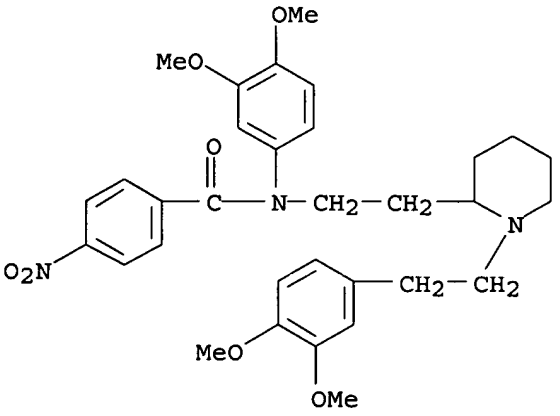
CN Benzamide, N-(3,4-dimethoxyphenyl)-N-[2-[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-piperidinyl]ethyl]-4-nitro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 181522-52-1 CAPLUS

CN Benzamide, N-(3,4-dimethoxyphenyl)-N-[2-[1-[2-(3,4-dimethoxyphenyl)ethyl]-2-piperidinyl]ethyl]-4-nitro- (9CI) (CA INDEX NAME)



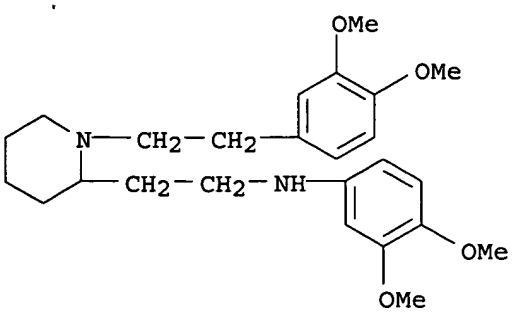
IT 181522-72-5P 181522-74-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

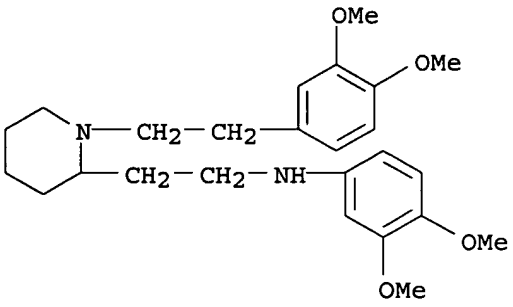
(preparation of N-aryl-N-heterocyclylalkyl-4-nitrobenzamides and analogs as antiarrhythmics)

RN 181522-72-5 CAPLUS

CN 2-Piperidineethanamine, N-(3,4-dimethoxyphenyl)-1-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 181522-74-7 CAPLUS
CN 2-Piperidineethanamine, N-(3,4-dimethoxyphenyl)-1-[2-(3,4-dimethoxyphenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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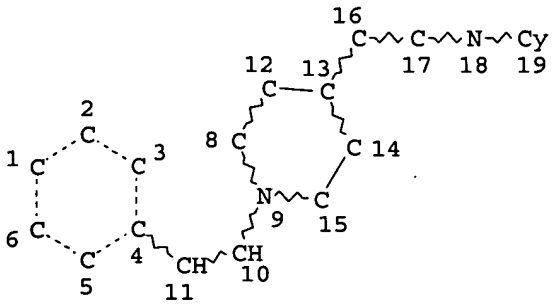
L3 STR



NODE ATTRIBUTES:
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8 4
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

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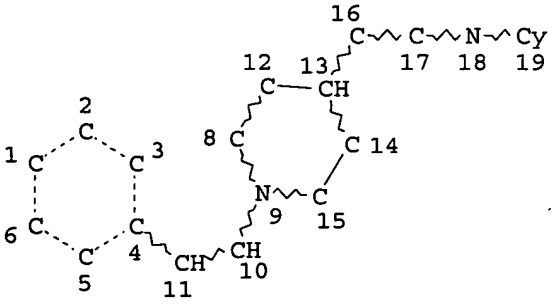
L5 HAS NO ANSWERS
L5 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8 4
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

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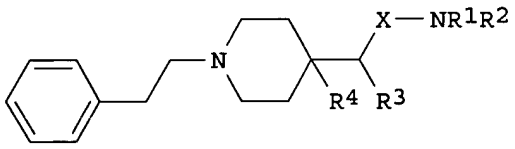
L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:42107 CAPLUS
DN 138:89689
TI Preparation of 1-phenylethylpiperidines as analgesics
IN Sundermann, Bernd; Hoenen, Lambert; Buschmann, Helmut; Koegel, Babette-Yvonne; Friderichs, Elmar
PA Gruenenthal G.m.b.H., Germany
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003004026	A1	20030116	WO 2002-EP7379	20020703
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10132746	A1	20030206	DE 2001-10132746	20010705
	EP 1406623	A1	20040414	EP 2002-745424	20020703
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2004171640	A1	20040902	US 2004-751584	20040105
PRAI	DE 2001-10132746	A	20010705		
	WO 2002-EP7379	W	20020703		

OS MARPAT 138:89689
GI



I

AB The title compds. [I; X = CH2, CO; R1 = (substituted) (hetero)aryl; R2 = H, COR5, SO2R5, (substituted) (saturated) (branched) (cyclo)aliphatic group, (hetero)aryl, (hetero)arylalkyl; R3, R4 = H; or R3R4 = bond; R5 = (substituted) (saturated) (branched) (cyclo)aliphatic group, (hetero)aryl, (hetero)arylalkyl], were prepared I showed at 1-10 mg/kg i.v. an antinociceptive effect of 56-100% in the phenylquinone-induced writhing test on mice and at 0.1-1 mg/kg i.v. an antinociceptive effect of 21-56% in the mice tail-flick test.
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:578092 CAPLUS
DN 138:147171
TI Electronic-topological study of the structure-activity relationships in a series of piperidine morphinomimetics
AU Sim, E.; Dimoglo, A.; Shvets, N.; Ahsen, V.

CS Gebze Institute of Technology, Gebze, 41400, Turk.
SO Current Medicinal Chemistry (2002), 9(16), 1537-1545
CODEN: CMCHE7; ISSN: 0929-8673
PB Bentham Science Publishers
DT Journal
LA English
AB Structure-activity relationships (SAR) are studied in the series of 4,4-disubstituted piperidine morphinomimetics (42 compds.) by means of the Electronic-Topol. Method (ETM). In the frameworks of this approach, its input data were taken as the results of conformational and quantum-mech. calcns. These calcns. had been carried out for all compds. from the series under study, taking into account their neutral and protonated by the nitrogen of piperidine cycle forms. The ETM application resulted in a set of pharmacophores and anti-pharmacophores, which formed a basis of a system used to predict analgesic activity. First of all, the system was tested on known analgesics. Testing has shown a good agreement with the exptl. data. Then, the system was applied to a few compds. with similar structures but unknown activity. The results of the study could be used for computer screening and design of novel compds. with analgesics properties as new potential drugs.
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:289418 CAPLUS
DN 120:289418
TI Examining structure-activity relationships in a series of piperidine analgesics with an electron topological technique
AU Sim, E. P.; Dimoglo, A. S.
CS Inst. Khim., Kishinev, Moldova
SO Khimiko-Farmatsevticheskii Zhurnal (1993), 27(8), 30-4
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
AB Mol. mechanics and quantum chemical were used to investigate structure-activity relations in a series of piperidine derivs. which possess morphine-like activity in unspecified laboratory animals. An electron topol. approach was employed. A number of characters were found to correlate with analgesic activity. Structure-activity relations are discussed.

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1983:16551 CAPLUS
DN 98:16551
TI Synthesis and pharmacological studies of 4,4-disubstituted piperidines: a new class of compounds with potent analgesic properties
AU Huegi, Bruno S.; Ebnoether, Anton M.; Rissi, Erwin; Gadient, Fulvio; Hauser, Daniel; Roemer, Dietmar; Buescher, Heinz H.; Petcher, Trevor J.
CS Preclin. Res., Sandoz Ltd., Basel, CH-4002, Switz.
SO Journal of Medicinal Chemistry (1983), 26(1), 42-50
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 98:16551
GI For diagram(s), see printed CA Issue.
AB Disubstituted piperidines I [R1 = PhCH2, H, PhCH2CH2, 2-ClC6H4CH2CH2, 4-MeOC6H4CH2CH2, Me, allyl, heptyl; R2 = OH, O2CEt; R3 = H, Me, Bu, CHMe2; R4 = H, Me; R5 = substituted amino; R3R4 = (CH2)2, (CH2)4] were prepared and evaluated for analgesic activity. I show analgesic potency comparable to morphine in the mouse writhing and tail-flick tests. A number of compds. exhibit high affinity for [3H]naloxone binding sites in rat brain membranes. Among the most potent derivs. are I (R1 = 2-ClC6H4CH2CH2, R2 = OH, R3 = Me, R4 = H, R5 = N-methylcyclohexylamino, 2-MeOC6H4NPr). Although opiate-like, attempts to modify this activity with various substituents have failed to produce antagonistic properties. Some I show

long lasting serotonin antagonism in the guinea pig serotonin toxicity test and the DL-5-hydroxytryptophan induced heat-twitch model in the mouse.

AN 2003:42107 CAPLUS
DN 138:89689
TI Preparation of 1-phenylethylpiperidines as analgesics
IN Sundermann, Bernd; Hoenen, Lambert; Buschmann, Helmut; Koegel, Babette-Yvonne; Friderichs, Elmar
PA Gruenenthal G.m.b.H., Germany
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003004026	A1	20030116	WO 2002-EP7379	20020703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10132746	A1	20030206	DE 2001-10132746	20010705
EP 1406623	A1	20040414	EP 2002-745424	20020703
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US 2004171640	A1	20040902	US 2004-751584	20040105
PRAI DE 2001-10132746	A	20010705		
WO 2002-EP7379	W	20020703		

OS MARPAT 138:89689
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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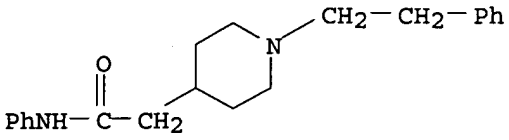
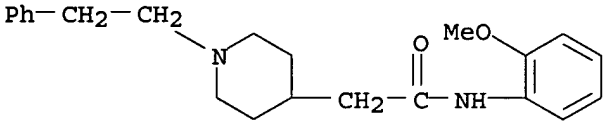
L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
IT 484034-05-1P, 2-(1-Phenethylpiperidin-4-yl)-N-phenylacetamide 484034-07-3P, N-(2-Methoxyphenyl)-2-(1-phenethylpiperidin-4-yl)acetamide 484034-08-4P, N-(4-Methoxyphenyl)-2-(1-phenethylpiperidin-4-yl)acetamide 484034-09-5P, 2-(1-Phenethylpiperidin-4-yl)-N-(2-trifluoromethoxyphenyl)acetamide 484034-10-8P, N-(3-Methoxyphenyl)-2-(1-phenethylpiperidin-4-yl)acetamide 484034-11-9P, N-(3-Chloro-4-methoxyphenyl)-2-(1-phenethylpiperidin-4-yl)acetamide 484034-12-0P, N-(4-Chloro-2-fluorophenyl)-2-(1-phenethylpiperidin-4-yl)acetamide 484034-13-1P, N-[2-(1-Phenethylpiperidin-4-yl)ethyl]-N-(3-trifluoromethylphenyl)amine 484034-14-2P, N-[2-(1-Phenethylpiperidin-4-yl)ethyl]-N-phenylamine 484034-15-3P, N-(4-Methoxyphenyl)-N-[2-(1-phenethylpiperidin-4-yl)ethyl]amine 484034-17-5P, 2-[[2-(1-Phenethylpiperidin-4-yl)ethyl]amino]phenol 484034-18-6P, 2-(1-Phenethylpiperidin-4-yl)-N-(3-trifluoromethylphenyl)acetamide 484034-19-7P, (3-Methoxyphenyl)-[2-(1-phenethylpiperidin-4-yl)ethyl]amine 484034-20-0P, (4-Chloro-2-fluorophenyl)-[2-(1-phenethylpiperidin-4-yl)ethyl]amine 484034-21-1P, 4-[[2-(1-Phenethylpiperidin-4-yl)ethyl]amino]phenol 484034-22-2P, 3-[[2-(1-Phenethylpiperidin-4-yl)ethyl]amino]phenol 484034-23-3P, N-(3-Chloro-4-methoxyphenyl)-N-[2-(1-phenethylpiperidin-4-yl)ethyl]acetamide 484034-24-4P, N-(3-Chloro-4-methoxyphenyl)-N-[2-(1-phenethylpiperidin-4-yl)ethyl]propionamide 484034-25-5P,

N-(3-Chloro-4-methoxyphenyl)-N-[2-(1-phenethylpiperidin-4-yl)ethyl]benzamide 484034-27-7P, N-[2-(1-Phenethylpiperidin-4-yl)ethyl]-N-(3-trifluoromethylphenyl)acetamide 484034-28-8P, N-[2-(1-Phenethylpiperidin-4-yl)ethyl]-N-phenylacetamide 484034-29-9P, N-[2-(1-Phenethylpiperidin-4-yl)ethyl]-N-phenylpropionamide 484034-30-2P, N-[2-(1-Phenethylpiperidin-4-yl)ethyl]-N-phenylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylethylpiperidines as analgesics)

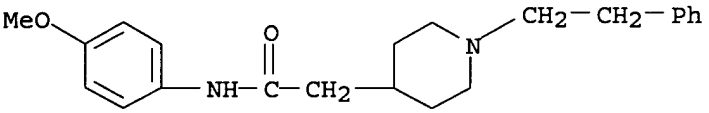
RN 484034-05-1 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



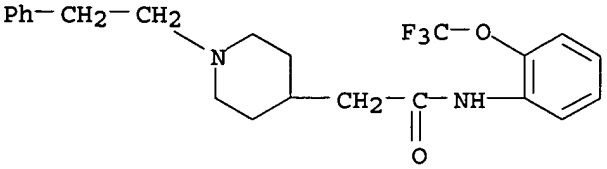
RN 484034-07-3 CAPLUS
CN 4-Piperidineacetamide, N-(2-methoxyphenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



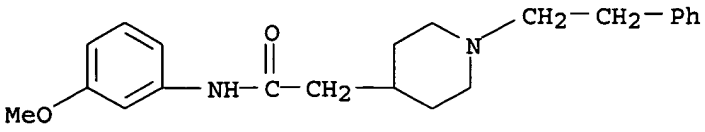
RN 484034-08-4 CAPLUS
CN 4-Piperidineacetamide, N-(4-methoxyphenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



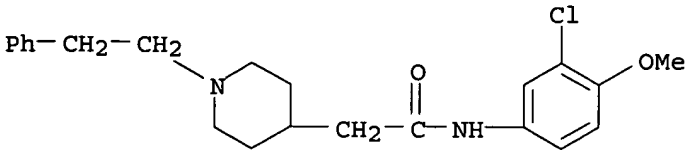
RN 484034-09-5 CAPLUS
CN 4-Piperidineacetamide, 1-(2-phenylethyl)-N-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



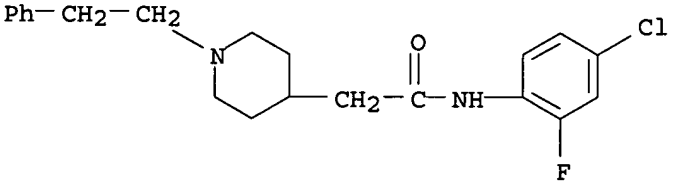
RN 484034-10-8 CAPLUS
CN 4-Piperidineacetamide, N-(3-methoxyphenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



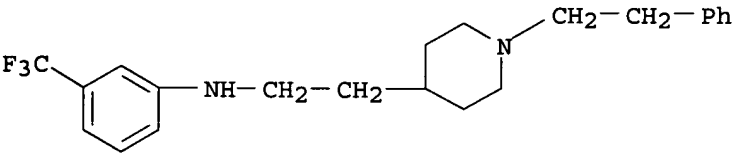
RN 484034-11-9 CAPLUS
CN 4-Piperidineacetamide, N-(3-chloro-4-methoxyphenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



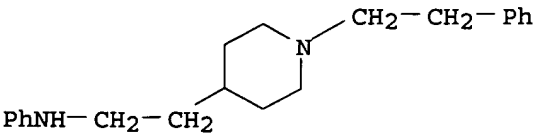
RN 484034-12-0 CAPLUS
CN 4-Piperidineacetamide, N-(4-chloro-2-fluorophenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



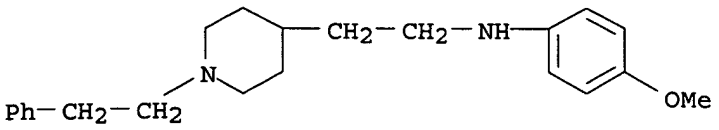
RN 484034-13-1 CAPLUS
CN 4-Piperidineethanamine, 1-(2-phenylethyl)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



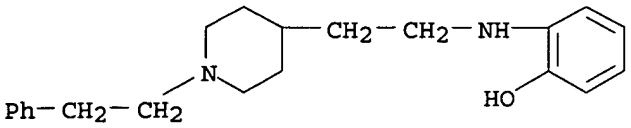
RN 484034-14-2 CAPLUS
CN 4-Piperidineethanamine, N-phenyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



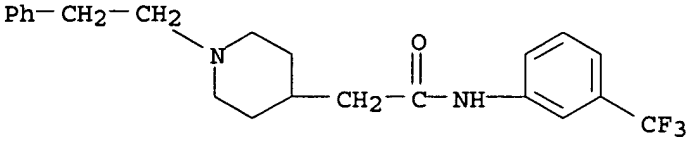
RN 484034-15-3 CAPLUS
CN 4-Piperidineethanamine, N-(4-methoxyphenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



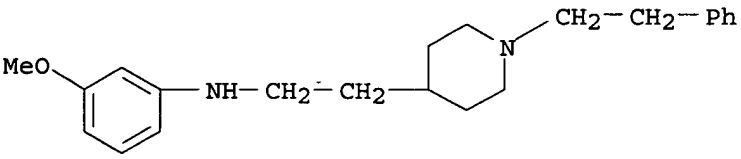
RN 484034-17-5 CAPLUS
CN Phenol, 2-[[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)



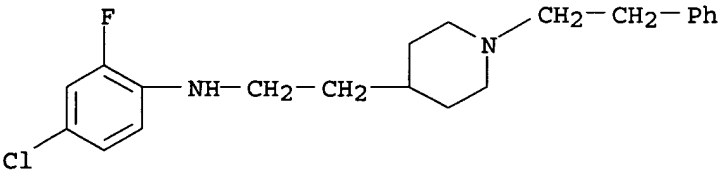
RN 484034-18-6 CAPLUS
CN 4-Piperidineacetamide, 1-(2-phenylethyl)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



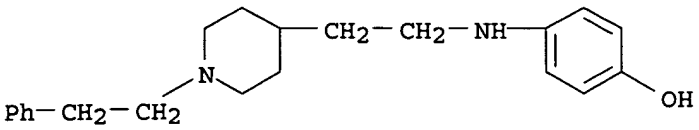
RN 484034-19-7 CAPLUS
CN 4-Piperidineethanamine, N-(3-methoxyphenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



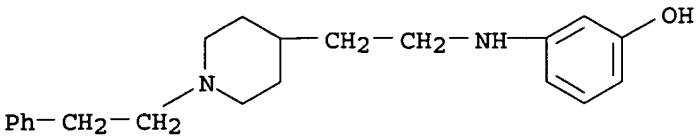
RN 484034-20-0 CAPLUS
CN 4-Piperidineethanamine, N-(4-chloro-2-fluorophenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



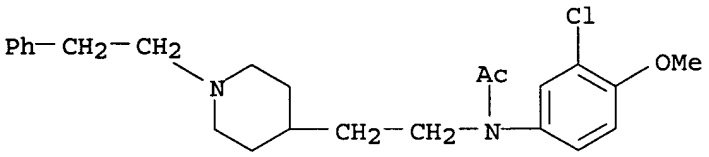
RN 484034-21-1 CAPLUS
CN Phenol, 4-[[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)



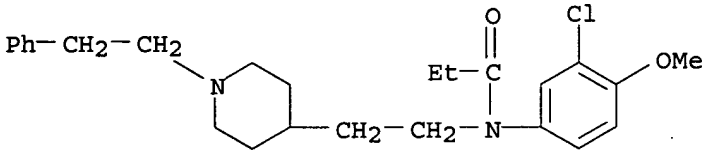
RN 484034-22-2 CAPLUS
CN Phenol, 3-[[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)



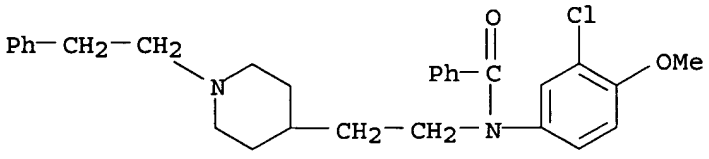
RN 484034-23-3 CAPLUS
CN Acetamide, N-(3-chloro-4-methoxyphenyl)-N-[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



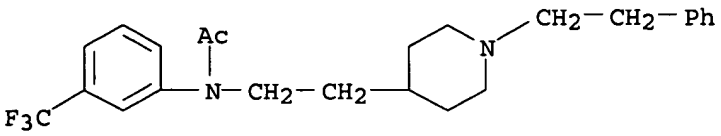
RN 484034-24-4 CAPLUS
CN Propanamide, N-(3-chloro-4-methoxyphenyl)-N-[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



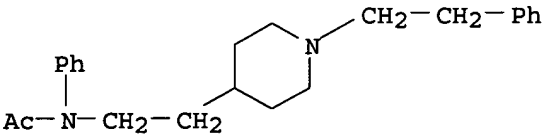
RN 484034-25-5 CAPLUS
CN Benzamide, N-(3-chloro-4-methoxyphenyl)-N-[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



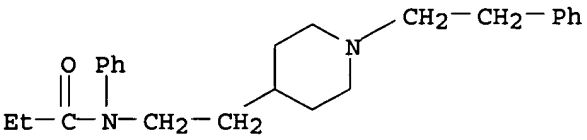
RN 484034-27-7 CAPLUS
CN Acetamide, N-[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 484034-28-8 CAPLUS
CN Acetamide, N-phenyl-N-[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 484034-29-9 CAPLUS
CN Propanamide, N-phenyl-N-[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 484034-30-2 CAPLUS
CN Benzamide, N-phenyl-N-[2-[1-(2-phenylethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)